Connecting via Winsock to STN

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LOGINID:SSPTAJMN1626

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,

AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:39:54 ON 08 MAR 2009

=> FIL REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 17:40:04 ON 08 MAR 2009
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0
DICTIONARY FILE UPDATES: 6 MAR 2009 HIGHEST RN 1116745-20-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

=>
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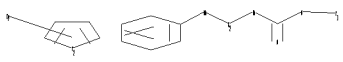
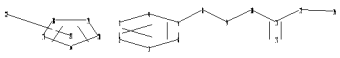




chain nodes :
8 9 10 11 12 13 15 22
ring nodes :
1 2 3 4 5 6 16 17 18 19 20
chain bonds :
5-8 8-9 9-10 10-11 11-12 11-13 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-20 17-18 18-19 19-20
exact/norm bonds :
5-8 8-9 9-10 10-11 11-12 11-13 12-15 16-17 16-20 17-18 18-19 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 16 :

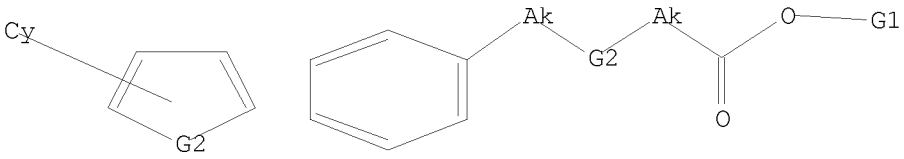
G1:H,Cb,Ak

G2:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:Atom 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 22:Atom 23:Atom
Generic attributes :
22:
Saturation : Unsaturated

L1 STRUCTURE UPLOADED

=> D
L1 HAS NO ANSWERS
L1 STR



G1 H,Cb,Ak

G2 O,S

Structure attributes must be viewed using STN Express query preparation.

=> S L1 FULL
FULL SEARCH INITIATED 17:40:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 765875 TO ITERATE

89.0% PROCESSED 681641 ITERATIONS 122 ANSWERS

95.8% PROCESSED 733477 ITERATIONS 122 ANSWERS

100.0% PROCESSED 765875 ITERATIONS 122 ANSWERS
SEARCH TIME: 00.00.44

L2 122 SEA SSS FUL L1

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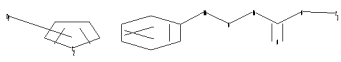
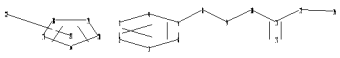
Uploading C:\Program Files\STNEXP\Queries\10526507\formula I 3_8_09.str





chain nodes :
8 9 10 11 12 13 15 22
ring nodes :
1 2 3 4 5 6 16 17 18 19 20
chain bonds :
5-8 8-9 9-10 10-11 11-12 11-13 12-15
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-20 17-18 18-19 19-20
exact/norm bonds :
5-8 8-9 9-10 10-11 11-12 11-13 12-15 16-17 16-20 17-18 18-19 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 : 16 :

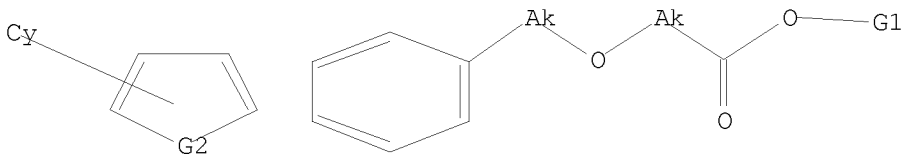
G1:H,Cb,Ak

G2:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom
22:Atom 23:Atom
Generic attributes :
22:
Saturation : Unsaturated

L3 STRUCTURE UPLOADED

=> D
L3 HAS NO ANSWERS
L3 STR



G1 H,Cb,Ak

G2 O,S

Structure attributes must be viewed using STN Express query preparation.

=> S L3 FULL SUB=L2
FULL SUBSET SEARCH INITIATED 17:41:41 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 120 TO ITERATE

100.0% PROCESSED 120 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

L4 24 SEA SUB=L2 SSS FUL L3

=> S L2 NOT L4
L5 98 L2 NOT L4

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 230.84 231.06

FILE 'CAPLUS' ENTERED AT 17:41:52 ON 08 MAR 2009
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FILE COVERS 1907 - 8 Mar 2009 VOL 150 ISS 11
FILE LAST UPDATED: 6 Mar 2009 (20090306/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> S L5
L6 14 L5

=> D IBIB 1-5

L6 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:760958 CAPLUS
DOCUMENT NUMBER: 149:191045
TITLE: Discovery of Phosphonic Diamide Prodrugs and Their Use for the Oral Delivery of a Series of Fructose 1,6-Bisphosphatase Inhibitors
AUTHOR(S): Dang, Qun; Kasibhatla, Srinivas Rao; Jiang, Tao; Fan, Kevin; Liu, Yan; Taplin, Frank; Schulz, William; Cashion, Daniel K.; Reddy, K. Raja; van Poelje, Paul D.; Fujitaki, James M.; Potter, Scott C.; Erion, Mark D.
CORPORATE SOURCE: Departments of Medicinal Chemistry and Biochemistry, Metabasis Therapeutics, Inc., La Jolla, CA, 92037, USA
SOURCE: Journal of Medicinal Chemistry (2008), 51(14), 4331-4339
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1259559 CAPLUS
DOCUMENT NUMBER: 144:22935
TITLE: Preparation of substituted pyrimidines as inhibitors of bacterial type III protein secretion systems
INVENTOR(S): Li, Xiaobing
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113514	A2	20051201	WO 2005-US16106	20050506
WO 2005113514	A3	20060119		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050282884	A1	20051222	US 2005-124226	20050506
PRIORITY APPLN. INFO.:			US 2004-568850P	P 20040507

OTHER SOURCE(S): CASREACT 144:22935; MARPAT 144:22935
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1259524 CAPLUS
DOCUMENT NUMBER: 144:22910
TITLE: Preparation of azole carboxamides as inhibitors of bacterial type III protein secretion systems
INVENTOR(S): Li, Xiaobing; Murray, William V.; Macielag, Mark J.; Guan, Qunying
PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005113522	A1	20051201	WO 2005-US16105	20050506
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20050272784	A1	20051208	US 2005-123977	20050506
PRIORITY APPLN. INFO.:			US 2004-568851P	P 20040507

OTHER SOURCE(S): CASREACT 144:22910; MARPAT 144:22910
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:523110 CAPLUS
DOCUMENT NUMBER: 141:71536
TITLE: Preparation of 2-(5-phosphono)furanyl substituted heteroaromatic compounds as fructose-1,6-bisphosphatase (FBPase) inhibitors for use in combination with insulin sensitizers for the treatment of diabetes
INVENTOR(S): Erion, Mark D.; Van Poelje, Paul D.
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: U.S., 109 pp., Cont.-in-part of U.S. Provisional Ser. No. 114,718.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6756360	B1	20040629	US 1999-470649	19991222
CN 1350466	A	20020522	CN 1999-816356	19991222
CN 100352505	C	20071205		
EP 1552850	A2	20050713	EP 2005-8493	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PT 1143955	T	20051130	PT 1999-964313	19991222
CN 1714866	A	20060104	CN 2005-10080615	19991222
ES 2246586	T3	20060216	ES 1999-964313	19991222
CN 101164618	A	20080423	CN 2007-10162888	19991222
ZA 2001005016	A	20020919	ZA 2001-5016	20010619
US 20040167178	A1	20040826	US 2004-780948	20040217
US 20080004226	A1	20080103	US 2007-841886	20070820
PRIORITY APPLN. INFO.:			US 1998-114718P	P 19981224
			CN 1999-816356	A3 19991222
			EP 1999-964313	A3 19991222
			US 1999-470649	A3 19991222
			US 2004-780948	A1 20040217

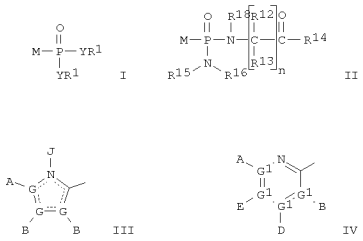
OTHER SOURCE(S): MARPAT 141:71536
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:523110 CAPLUS
DOCUMENT NUMBER: 141:71536
TITLE: Preparation of 2-(5-phosphono)furanyl substituted heteroaromatic compounds as fructose-1,6-bisphosphatase (FBPase) inhibitors for use in combination with insulin sensitizers for the treatment of diabetes
INVENTOR(S): Erion, Mark D.; Van Poelje, Paul D.
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: U.S., 109 pp., Cont.-in-part of U.S. Provisional Ser. No. 114,718.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6756360	B1	20040629	US 1999-470649	19991222
CN 1350466	A	20020522	CN 1999-816356	19991222
CN 100352505	C	20071205		
EP 1552850	A2	20050713	EP 2005-8493	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
PT 1143955	T	20051130	PT 1999-964313	19991222
CN 1714866	A	20060104	CN 2005-10080615	19991222
ES 2246586	T3	20060216	ES 1999-964313	19991222
CN 101164618	A	20080423	CN 2007-10162888	19991222
ZA 2001005016	A	20020919	ZA 2001-5016	20010619
US 20040167178	A1	20040826	US 2004-780948	20040217
US 20080004226	A1	20080103	US 2007-841886	20070820
PRIORITY APPLN. INFO.:			US 1998-114718P	P 19981224
			CN 1999-816356	A3 19991222
			EP 1999-964313	A3 19991222
			US 1999-470649	A3 19991222
			US 2004-780948	A1 20040217

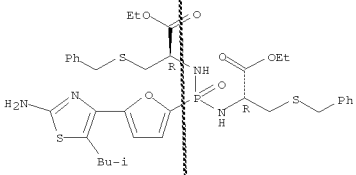
OTHER SOURCE(S): MARPAT 141:71536
GI

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



AB Pharmaceutical compns. containing an FBPase inhibitor [I and II; wherein in vivo or in vitro compds. I and II are converted to MPO3-2 which inhibits FBPase; and wherein Y = O, NR6; when Y = O, then R1 = H, alkyl, aryl, etc.; when Y = NR6, then R1 = H, (cycloalkylene)CO2R3, C(R4)2CO2R3, etc.; R3 = alkyl, aryl, aralkyl, alicyclic; R4 = H, alkyl; or together R4 and R4 form a cyclic group; R6 = H, alkyl, acyloxyalkyl, etc.; n = 1-3; R18 = H, alkyl, aryl, etc.; R12, R13 = H, alkyl, aryl, etc.; R14 = OR17, N(R17)2, SR17, etc.; R15 = H, alkyl, aryl, etc.; R16 = alkyl, aryl, aralkyl, etc.; R17 = alkyl, aryl, aralkyl, etc.; M = XR5 (wherein R5 = III and IV; G = C, N, O, S, Se; G1 = C, N; A = H, halo, alkyl, etc.; B, D = H, alkyl, aryl, etc.; E = H, alkyl, alkenyl, etc.; J = H, null; X = alkyl(hydroxy), heteroaryl, alkoxycarbonylamino, etc.); with the provisos] and an insulin sensitizer are provided as well as methods for treating diabetes and diseases responding to increased glycemic control, an improvement in insulin sensitivity, a reduction in insulin levels, or an enhancement of insulin secretion. Syntheses of compds. I are described in 49 synthetic examples. E.g., a multi-step synthesis of 2-amino-5-(2-furanyl)-4-[2-(5-phosphono)furanyl]thiazole, was given.
IT 280783-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(5-phosphono)furanyl substituted thiazoles as fructose-1,6-bisphosphatase inhibitors for use in combination with insulin sensitizer for treating diabetes)
RN 280783-04-2 CAPLUS
CN L-Cysteine, N,N'-[[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]phosphinylidene]bis[S-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
Absolute stereochemistry.



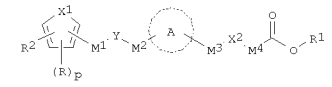
REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:220326 CAPLUS
DOCUMENT NUMBER: 140:270727
TITLE: Preparation of furan derivatives for treatment of abnormal lipid metabolism, arteriosclerosis, and diabetes
INVENTOR(S): Hamamura, Kazumasa; Sasaki, Shigekazu; Amano, Yuichiro; Sakamoto, Junichi; Fukatsu, Kohji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 325 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

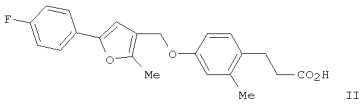
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004022551	A1	20040318	WO 2003-JP11308	20030904
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2497901	A1	20040318	CA 2003-2497901	20030904
AU 2003261935	A1	20040329	AU 2003-261935	20030904
EP 1535915	A1	20050601	EP 2003-794233	20030904
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005035966	A	20050210	JP 2003-314293	20030905
US 20060100261	A1	20060511	US 2005-526507	20050929
PRIORITY APPLN. INFO.:			JP 2002-261873	A 20020906
			JP 2003-185241	A 20030627
			WO 2003-JP11308	W 20030904

OTHER SOURCE(S): MARPAT 140:270727
GI

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I



II

AB The title compds. I [wherein R = (un)substituted hydrocarbyl or heterocyclyl; p = 0-2; R1 = H or (un)substituted hydrocarbyl; R2 = (un)substituted aryl; ring A = (un)substituted aromatic ring; X1 = O or S; X2 = a bond, O, S, SO, or SO2; Y = a bond, O, S, SO, SO2, CO, (un)substituted CONH, or NHCO; M1-M3 = independently a bond or (un)substituted aliphatic hydrocarbyl; M4 = (un)substituted aliphatic hydrocarbyl; with exclusions], or prodrugs, or pharmaceutically acceptable salts thereof are prepared For example, the compound II was prepared in a multi-step synthesis. II exhibited EC50 of 0.10 μM towards human G protein-coupled receptors (GPR40). I are useful for the treatment of abnormal lipid metabolism, arteriosclerotic diseases, secondary diseases, diabetes, etc. (no data). Formulations containing I as an active ingredient were also described.

IT 672928-39-1P 672928-40-4P 672928-50-6P
672928-58-4P 672928-59-5P 672928-67-5P
672928-68-6P 672928-73-3P 672928-75-5P
672928-79-9P 672928-80-2P 672928-81-3P
672928-86-8P 672928-87-9P 672928-89-1P
672928-90-4P 672928-92-6P 672928-93-7P
672928-97-1P 672929-03-2P 672929-04-3P
672929-12-3P 672929-35-0P 672929-37-2P
672929-38-3P 672929-41-8P 672929-51-0P
672929-52-1P 672929-53-2P 672929-54-3P
672929-58-7P 672929-61-2P 672929-62-3P
672929-71-4P 672929-72-5P 672929-73-6P
672929-75-8P 672930-12-0P 672930-13-1P
672930-14-2P 672930-15-3P 672930-16-4P
672930-17-5P 672930-18-6P 672930-19-7P
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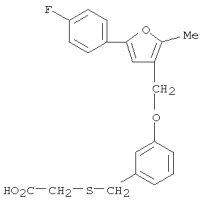
672930-23-3P 672930-24-4P 672930-25-5P
672930-26-6P 672930-27-7P 672930-28-8P
672930-29-9P 672930-30-2P 672930-31-3P
672930-32-4P 672930-33-5P 672930-34-6P

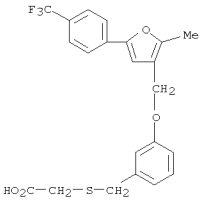
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

672930-35-7P 672930-36-8P 672930-42-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of furan derivs. for treatment of abnormal lipid metab., arteriosclerosis, and diabetes)

RN 672928-39-1 CAPLUS
CN Acetic acid, 2-[[[3-[[5-(4-fluorophenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

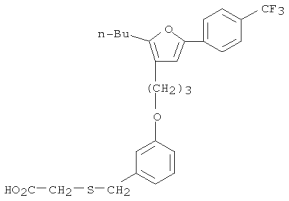


RN 672928-40-4 CAPLUS
CN Acetic acid, 2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

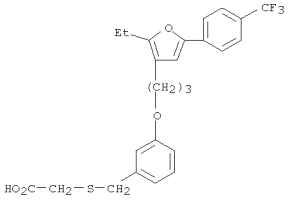


RN 672928-50-6 CAPLUS
CN Acetic acid, 2-[[[3-[3-[2-butyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]propoxy]phenyl]methyl]thio]- (CA INDEX NAME)

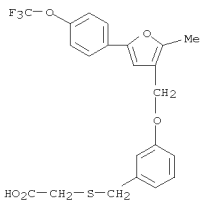
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 672928-58-4 CAPLUS
CN Acetic acid, 2-[[[3-[3-[2-ethyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]propoxy]phenyl]methyl]thio]- (CA INDEX NAME)

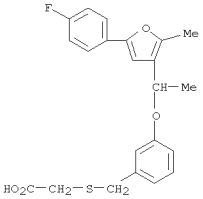


RN 672928-59-5 CAPLUS
CN Acetic acid, 2-[[[3-[[2-methyl-5-[4-(trifluoromethoxy)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

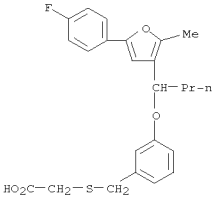


L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 672928-67-5 CAPLUS
CN Acetic acid, 2-[[[3-[1-[5-(4-fluorophenyl)-2-methyl-3-furanyl]ethoxy]phenyl]methyl]thio]- (CA INDEX NAME)

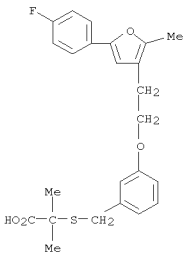


RN 672928-68-6 CAPLUS
CN Acetic acid, 2-[[[3-[1-[5-(4-fluorophenyl)-2-methyl-3-furanyl]butoxy]phenyl]methyl]thio]- (CA INDEX NAME)

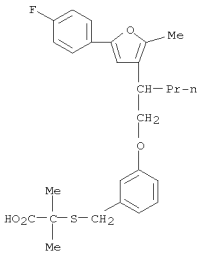


RN 672928-73-3 CAPLUS
CN Propanoic acid, 2-[[[3-[2-[5-(4-fluorophenyl)-2-methyl-3-furanyl]ethoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

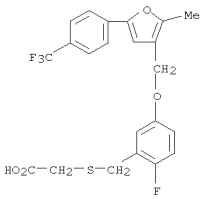


RN 672928-75-5 CAPLUS
CN Propanoic acid, 2-[[[3-[[2-[5-(4-fluorophenyl)-2-methyl-3-furanyl]pentyl]oxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

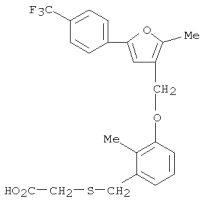


RN 672928-79-9 CAPLUS
CN Propanoic acid, 2-[[[3-[[5-(3-methoxyphenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

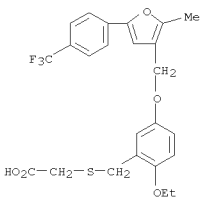
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



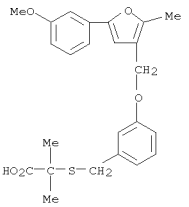
RN 672928-86-8 CAPLUS
CN Acetic acid, 2-[[[2-methyl-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)



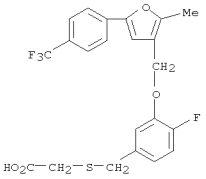
RN 672928-87-9 CAPLUS
CN Acetic acid, 2-[[[2-ethoxy-5-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)



L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



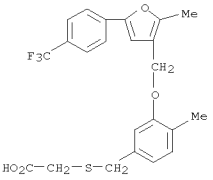
RN 672928-80-2 CAPLUS
CN Acetic acid, 2-[[[4-fluoro-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)



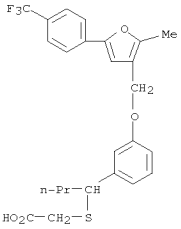
RN 672928-81-3 CAPLUS
CN Acetic acid, 2-[[[2-fluoro-5-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 672928-89-1 CAPLUS
CN Acetic acid, 2-[[[4-methyl-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

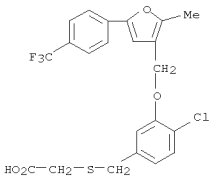


RN 672928-90-4 CAPLUS
CN Acetic acid, 2-[[1-[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]butyl]thio]- (CA INDEX NAME)

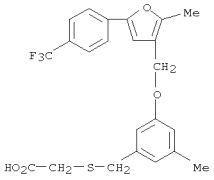


RN 672928-92-6 CAPLUS
CN Acetic acid, 2-[[[4-chloro-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

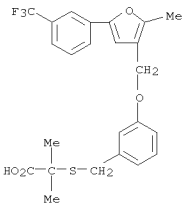


RN 672928-93-7 CAPLUS
CN Acetic acid, 2-[[[3-methyl-5-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

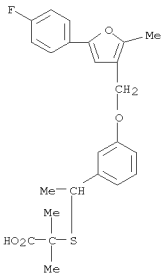


RN 672928-97-1 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[3-[[2-methyl-5-[3-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

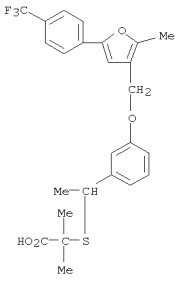


RN 672929-03-2 CAPLUS
CN Propanoic acid, 2-[[1-[3-[[5-(4-fluorophenyl)-2-methyl-3-furanyl]methoxy]phenyl]ethyl]thio]-2-methyl- (CA INDEX NAME)

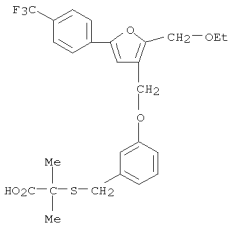


RN 672929-04-3 CAPLUS
CN Propanoic acid, 2-methyl-2-[[1-[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]ethyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

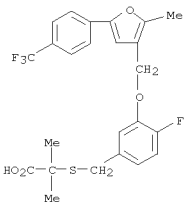


RN 672929-12-3 CAPLUS
CN Propanoic acid, 2-[[[3-[[2-(ethoxymethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

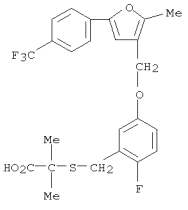


RN 672929-35-0 CAPLUS
CN Propanoic acid, 2-[[[4-fluoro-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

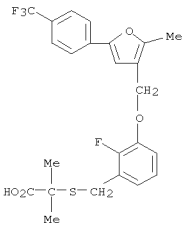


RN 672929-37-2 CAPLUS
CN Propanoic acid, 2-[[[2-fluoro-5-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

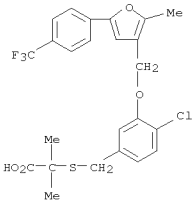


RN 672929-38-3 CAPLUS
CN Propanoic acid, 2-[[[2-fluoro-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

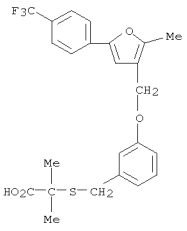


RN 672929-41-8 CAPLUS
CN Propanoic acid,
2-[[[4-chloro-3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

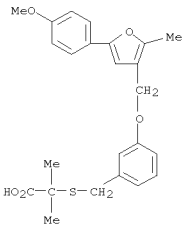


RN 672929-51-0 CAPLUS
CN Propanoic acid,
2-methyl-2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

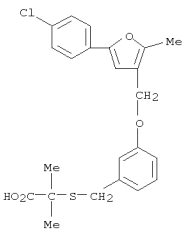


RN 672929-52-1 CAPLUS
CN Propanoic acid, 2-[[[3-[[5-(4-methoxyphenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

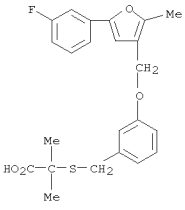


RN 672929-53-2 CAPLUS
CN Propanoic acid, 2-[[[3-[[5-(4-chlorophenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

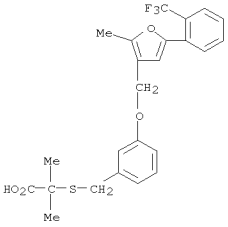


RN 672929-54-3 CAPLUS
CN Propanoic acid, 2-[[[3-[[5-(3-fluorophenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

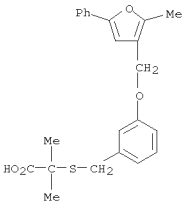


RN 672929-58-7 CAPLUS
CN Propanoic acid,
2-methyl-2-[[[3-[[2-methyl-5-[2-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

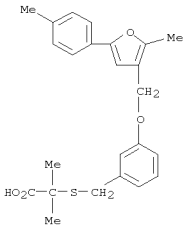


RN 672929-61-2 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[3-[(2-methyl-5-phenyl-3-furanyl)methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

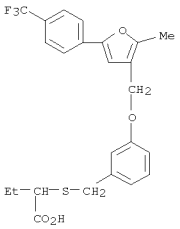


RN 672929-62-3 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[3-[[2-methyl-5-(4-methylphenyl)-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

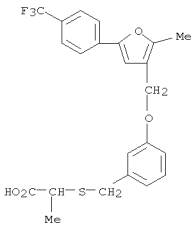


RN 672929-71-4 CAPLUS
CN Butanoic acid, 2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

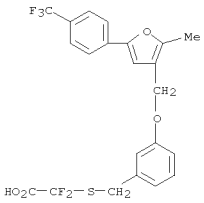


RN 672929-72-5 CAPLUS
CN Propanoic acid, 2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

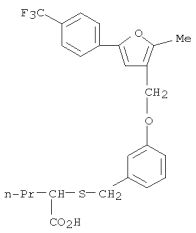


RN 672929-73-6 CAPLUS
CN Acetic acid, 2,2-difluoro-2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

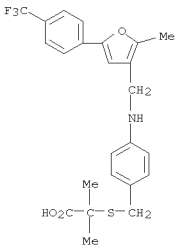


RN 672929-75-8 CAPLUS
CN Pentanoic acid, 2-[[[3-[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

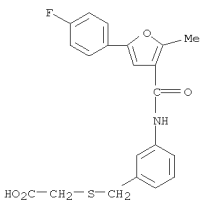


RN 672930-12-0 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

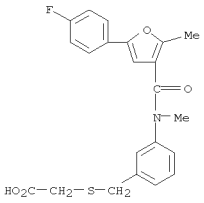


RN 672930-13-1 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-fluorophenyl)-2-methyl-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

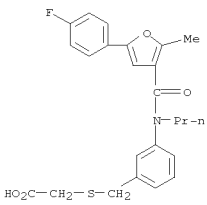
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 672930-14-2 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-fluorophenyl)-2-methyl-3-furanyl]carbonyl]methylamino]phenyl]methyl]thio]- (CA INDEX NAME)

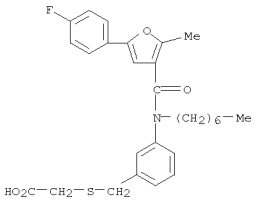


RN 672930-15-3 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-fluorophenyl)-2-methyl-3-furanyl]carbonyl]propylamino]phenyl]methyl]thio]- (CA INDEX NAME)

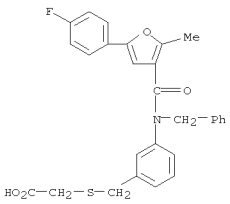


L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

RN 672930-16-4 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-fluorophenyl)-2-methyl-3-furanyl]carbonyl]heptylamino]phenyl]methyl]thio]- (CA INDEX NAME)

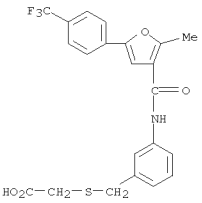


RN 672930-17-5 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-fluorophenyl)-2-methyl-3-furanyl]carbonyl](phenylmethyl)amino]phenyl]methyl]thio]- (CA INDEX NAME)

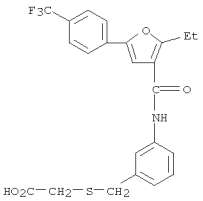


RN 672930-18-6 CAPLUS
CN Acetic acid, 2-[[[3-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

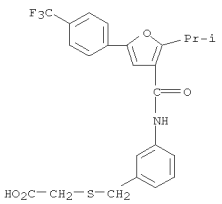


RN 672930-19-7 CAPLUS
CN Acetic acid, 2-[[[3-[[[2-ethyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

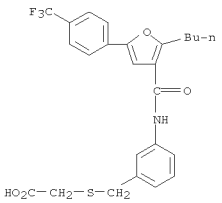


RN 672930-20-0 CAPLUS
CN Acetic acid, 2-[[[3-[[[2-(1-methylethyl)-5-[4-(trifluoromethyl)phenyl]-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

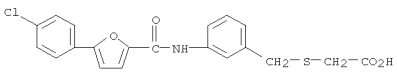
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 672930-21-1 CAPLUS
CN Acetic acid, 2-[[[3-[[[2-butyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

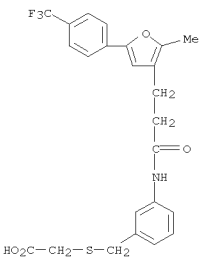


RN 672930-22-2 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-(4-chlorophenyl)-2-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

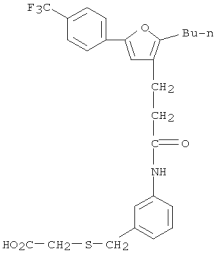


RN 672930-23-3 CAPLUS
CN Acetic acid, 2-[[[3-[[3-[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]-1-oxopropyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

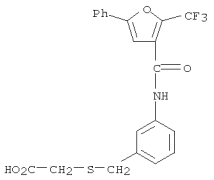


RN 672930-24-4 CAPLUS
CN Acetic acid, 2-[[[3-[[3-[2-butyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]-1-oxopropyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

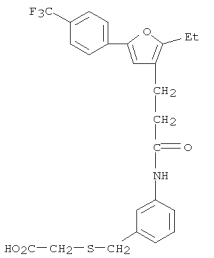


RN 672930-25-5 CAPLUS
CN Acetic acid, 2-[[[3-[[[5-phenyl-2-(trifluoromethyl)-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

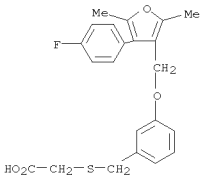


RN 672930-26-6 CAPLUS
CN Acetic acid,
2-[[[3-[[3-[2-ethyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]-1-oxopropyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

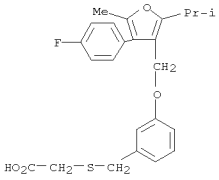


RN 672930-27-7 CAPLUS
CN Acetic acid, 2-[[[3-[[2-[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]acetyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

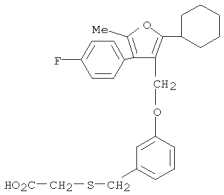
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 672930-30-2 CAPLUS
CN Acetic acid, 2-[[[3-[[4-(4-fluorophenyl)-5-methyl-2-(1-methylethyl)-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

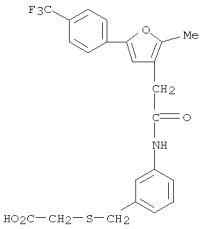


RN 672930-31-3 CAPLUS
CN Acetic acid, 2-[[[3-[[2-cyclohexyl-4-(4-fluorophenyl)-5-methyl-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

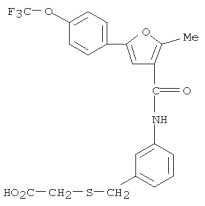


RN 672930-32-4 CAPLUS
CN Acetic acid, 2-[[[3-[[4-(4-fluorophenyl)-5-methyl-2-phenyl-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

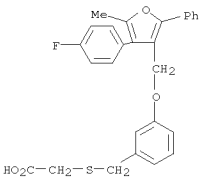


RN 672930-28-8 CAPLUS
CN Acetic acid, 2-[[[3-[[[2-methyl-5-[4-(trifluoromethoxy)phenyl]-3-furanyl]carbonyl]amino]phenyl]methyl]thio]- (CA INDEX NAME)

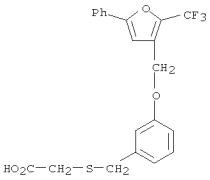


RN 672930-29-9 CAPLUS
CN Acetic acid, 2-[[[3-[[4-(4-fluorophenyl)-2,5-dimethyl-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

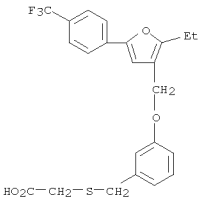
L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 672930-33-5 CAPLUS
CN Acetic acid, 2-[[[3-[[5-phenyl-2-(trifluoromethyl)-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

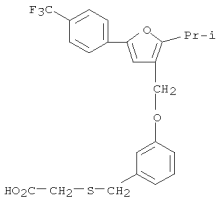


RN 672930-34-6 CAPLUS
CN Acetic acid, 2-[[[3-[[2-ethyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

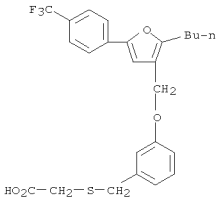


RN 672930-35-7 CAPLUS
CN Acetic acid, 2-[[[3-[[2-(1-methylethyl)-5-[4-(trifluoromethyl)phenyl]-3-

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

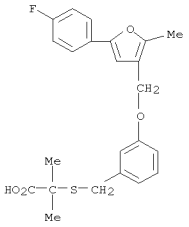


RN 672930-36-8 CAPLUS
CN Acetic acid, 2-[[[3-[[2-butyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methoxy]phenyl]methyl]thio]- (CA INDEX NAME)

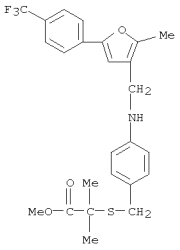


RN 672930-42-6 CAPLUS
CN Propanoic acid, 2-[[[3-[[5-(4-fluorophenyl)-2-methyl-3-furanyl]methoxy]phenyl]methyl]thio]-2-methyl- (CA INDEX NAME)

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



IT 672932-34-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of furan derivs. for treatment of abnormal lipid
metabolism, arteriosclerosis, and diabetes)
RN 672932-34-2 CAPLUS
CN Propanoic acid, 2-methyl-2-[[[4-[[[2-methyl-5-[4-(trifluoromethyl)phenyl]-3-furanyl]methyl]amino]phenyl]methyl]thio]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

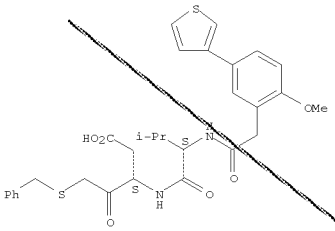
L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:855766 CAPLUS
DOCUMENT NUMBER: 139:345913
TITLE: Identification of tumor necrosis factor α (TNF-α) modulator compounds, and use for treatment of TNF-mediated diseases
INVENTOR(S): Miller, Karen; Diu-Hercend, Anita; Hercend, Thierry; Lang, Paul; Weber, Peter; Golec, Julian; Mortimore, Michael
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088917	A2	20031030	WO 2003-US12262	20030417
WO 2003088917	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003225088	A1	20031103	AU 2003-225088	20030417
US 20040048797	A1	20040311	US 2003-419327	20030417
EP 1499898	A2	20050126	EP 2003-721795	20030417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-374434P	P 20020419
			WO 2003-US12262	W 20030417

AB The invention discloses methods for identifying compds. useful for regulating TNF-α levels and/or activity. The invention also discloses methods for decreasing TNF-α levels and/or activity. Compds. and compns. of the invention are useful for treating TNF-mediated diseases. The invention further discloses kits comprising the compds. and
compns. herein and a tool for measuring TNF-α activity and/or levels. Preparation of selected compds., e.g. [3S/R,(2S)]-5-fluoro-4-oxo-3-[(1-(phenothiazine-10-carbonyl)piperidine-2-carbonyl)amino]pentanoic acid, is described.
IT 294860-04-1 294860-06-3 294860-07-4 618458-38-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF-α modulator compound identification methods, and use for treatment of TNF-mediated diseases)
RN 294860-04-1 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(3-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-

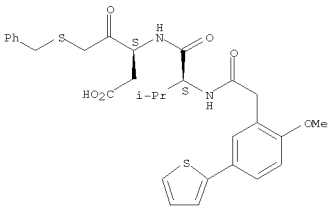
L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 294860-06-3 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(3-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

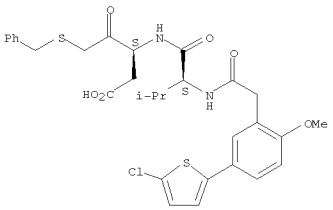
Absolute stereochemistry.



RN 294860-07-4 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[5-(5-chloro-2-thienyl)-2-methoxyphenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

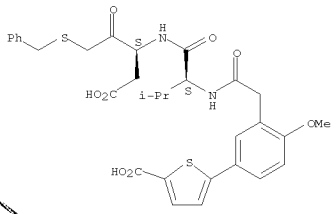
Absolute stereochemistry.

L6 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 618458-38-1 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[3-[2-[[(1S)-1-[[[(1S)-1-(carboxymethyl)-2-oxo-3-[(phenylmethyl)thio]propyl]amino]carbonyl]-2-methylpropyl]amino]-2-oxoethyl]-4-methoxyphenyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:656594 CAPLUS
DOCUMENT NUMBER: 139:191460
TITLE: Phospholipids as caspase inhibitor prodrugs
INVENTOR(S): Mortimore, Michael; Golec, Julian M. C.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

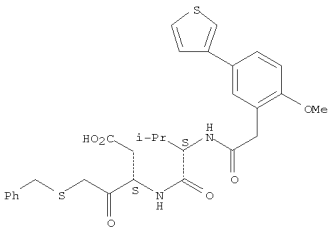
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068242	A1	20030821	WO 2003-US4457	20030211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003211052	A1	20030904	AU 2003-211052	20030211
US 20040019017	A1	20040129	US 2003-366192	20030211
US 7410956	B2	20080812		
EP 1485107	A1	20041215	EP 2003-739810	20030211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20080199454	A1	20080821	US 2007-5068	20071221

PRIORITY APPLN. INFO.: US 2002-355889P P 20020211
US 2003-366192 A3 20030211
WO 2003-US4457 W 20030211

OTHER SOURCE(S): MARPAT 139:191460
AB The invention relates to compds. which are prodrugs of caspase inhibitors and pharmaceutically acceptable salts thereof. The invention further relates to the release of caspase inhibitors from these compds. through selective bond cleavage. The invention further relates to pharmaceutical compns. comprising these compds., which are particularly well-suited for treatment of caspase-mediated diseases, including inflammatory and degenerative diseases. The invention further relates to methods for preparing compds. of this invention.
IT 294860-04-1 294860-06-3 294860-07-4
294860-09-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids as caspase inhibitor prodrugs)
RN 294860-04-1 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(3-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

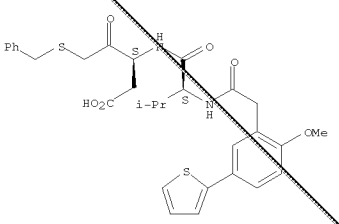
Absolute stereochemistry.

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 294860-06-3 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(2-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

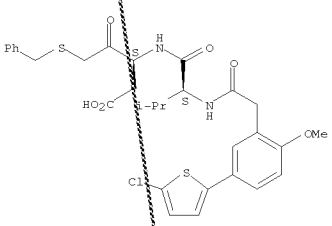
Absolute stereochemistry.



RN 294860-07-4 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[5-(5-chloro-2-thienyl)-2-methoxyphenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

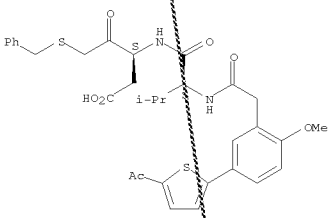
Absolute stereochemistry.

L6 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



RN 294860-09-6 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[5-(5-acetyl-2-thienyl)-2-methoxyphenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

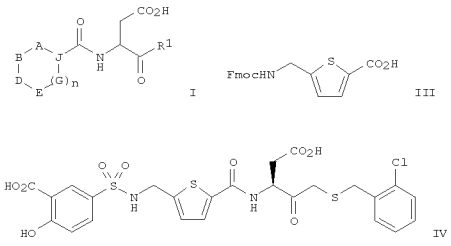
ACCESSION NUMBER: 2003:242322 CAPLUS
DOCUMENT NUMBER: 138:271968
TITLE: Preparation of (heterocyclylcarbonyl)aspartic acid derivatives as caspase inhibitors
INVENTOR(S): Choong, Ingrid; Burdett, Matthew; Delano, Warren; Erlanson, Daniel A.; Lee, Dennis; Lew, Willard
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024955	A2	20030327	WO 2002-US29536	20020917
WO 2003024955	A3	20030814		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002325033	A1	20030401	AU 2002-325033	20020917
US 20030114447	A1	20030619	US 2002-245912	20020917
US 6878743	B2	20050412		

PRIORITY APPLN. INFO.: US 2001-323270P P 20010918
US 2002-371762P P 20020411
WO 2002-US29536 W 20020917

OTHER SOURCE(S): MARPAT 138:271968
GI

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



I III

IV

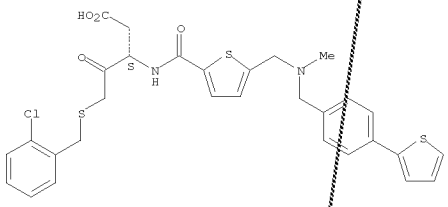
AB The present invention provides aspartic acid derivs. I [R1 = H, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; n = 0, 1; A, B, D, E, G, = independently CR, CR2, CO, S, NR, NR2, O; J = CR; each R = independently H, halo, OR2, NR22, SR2, CN, CO2R2, COR2, CONR22, SOR2, SO2R2, SO2NR22, NR2SO2R2, O2CNR22, NR2CONR22, NR2CSNR22, NR2SO2NR22, (un)substituted aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; R2 = independently H, halo, OR3, NR32, SR3, CN, CO2R3, COR3, CONR32, SOR3, SO2R3, SO2NR32, NR3SO2R3, O2CNR32, NR3CONR32, NR3CSNR32, NR3SO2NR32, (un)substitutd aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; R3 = H, aliphatic, heteroaliph., aryl, heteroaryl, alkylaryl, alkylheteroaryl, heteroalkylaryl, heteroalkylheteroaryl; with provisos] and pharmaceutically acceptable derivs. , and pharmaceutical compns. thereof, and methods for the use thereof as caspase inhibitors and for the treatment of disorders caused by excessive apoptotic activity (no data). Thus, Fmoc-Asp(OtBu)-CH2Br (Fmoc = 9-fluorenylmethoxycarbonyl) was coupled with 2-ClC6H4CH2SH to give sulfide Fmoc-Asp(OtBu)CH2SCH2C6H4Cl-2 (II). II was attached to a semicarbazide-derivatized Wang resin, deprotected with piperidine in DMF, coupled with Fmoc-protected aminomethylthiophenecarboxylic acid III, deprotected, and coupled with 5-chlorosulfonyl-2-hydroxybenzoic acid, and cleaved from the resin with CF3CO2H to give inhibitor IV.

IT 503469-82-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of (heterocyclylcarbonyl)aspartic acid derivs. as caspase inhibitors)

RN 503469-82-7 CAPLUS
CN Pentanoic acid, 5-[[(2-chlorophenyl)methyl]thio]-3-[[[5-[[methyl[[4-(2-thienyl)phenyl]methyl]amino]methyl]-2-thienyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

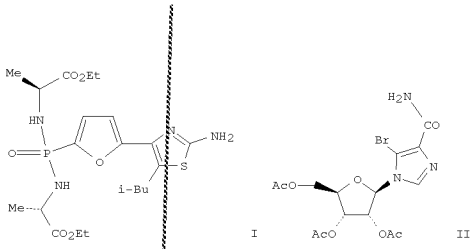
L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:51257 CAPLUS
DOCUMENT NUMBER: 136:123595
TITLE: A combination of phosphonate or phosphorodiamidate FBPase inhibitors and antidiabetic agents useful for the treatment of diabetes
INVENTOR(S): Van Poelje, Paul D.; Erion, Mark D.; Fujiwara, Toshihiko
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA; Sankyo Company, Ltd.
SOURCE: PCT Int. Appl., 392 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002003978	A2	20020117	WO 2001-US21557	20010705
WO 2002003978	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412142	A1	20020117	CA 2001-2412142	20010705
AU 2001073271	A	20020121	AU 2001-73271	20010705
AU 2001273271	B2	20060105		
US 20030073728	A1	20030417	US 2001-900364	20010705
HU 2003001830	A2	20031128	HU 2003-1830	20010705
HU 2003001830	A3	20071029		
BR 2001012212	A	20031230	BR 2001-12212	20010705
EP 1372660	A2	20040102	EP 2001-952530	20010705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004508297	T	20040318	JP 2002-508433	20010705
CN 1599612	A	20050323	CN 2001-814924	20010705
CN 100396283	C	20080625		
NZ 523227	A	20050429	NZ 2001-523227	20010705
RU 2328308	C2	20080710	RU 2003-103436	20010705
CN 101301294	A	20081112	CN 2008-10098112	20010705
MX 2002012713	A	20040910	MX 2002-12713	20021218
IN 2002MN01873	A	20050204	IN 2002-MN1873	20021224
ZA 2003000044	A	20040506	ZA 2003-44	20030102
NO 2003000034	A	20030305	NO 2003-34	20030103
KR 854851	B1	20080827	KR 2003-700126	20030104
AU 2006201410	A1	20060427	AU 2006-201410	20060404

PRIORITY APPLN. INFO.: US 2000-216531P P 20000706

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 2001-900364 A 20010705
US 2000-215126P P 20000629
AU 2001-73271 A3 20010705
CN 2001-814924 A3 20010705
WO 2001-US21557 W 20010705

OTHER SOURCE(S): MARPAT 136:123595
GI



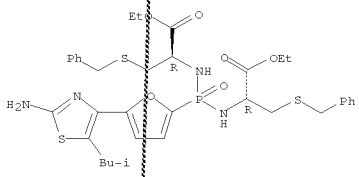
AB A combination therapy of at least one FBPase inhibitor ((R1Y)2P(O)M and R14C(O)(CR12R13)nN(R18)P(O)(NR15R16)M; e.g. 2-amino-5-propylthio-4-(5-phosphono-2-furanyl)thiazole monohydrobromide and 2-amino-5-isobutyl-4-[2-[N,N'-bis[(S)-1-(ethoxycarbonyl)ethyl]phosphonodiamido]-5-furanyl]thiazole (shown as I)) and at least one other antidiabetic agent (insulin secretagogue; e.g. glyburide, a sulfonylurea) is disclosed. (R1Y)2P(O)M and R14C(O)(CR12R13)nN(R18)P(O)(NR15R16)M are converted in vivo or in vitro to MPO32-, which inhibit FBPase; the substituents are defined in the claims. General methods and about 15 specific example prepns. of the phosphorus compds. are included but no methods of preparation are claimed. In the biol. examples, data is presented for the following for selected phosphorus compds. and other materials: inhibition of human liver FBPase, inhibition of rat liver and mouse liver FBPase, inhibition of gluconeogenesis by an FBPase inhibitor in rat hepatocytes, inhibition of glucose production and elevation of fructose-1,6-bisphosphate levels in rat hepatocytes treated with FBPase inhibitors, anal. of hepatic and plasma drug metabolite levels, blood glucose, and hepatic fructose 1,6-bisphosphate levels after administration of compound A (shown as II) p.o. to normal fasted rats, anal. of hepatic and plasma drug levels after administration of compds. i.p. to normal fasted rats, oral bioavailability detn. of two compds. and oral glucose lowering activity of two compds. For insulin secretagogues: insulin release from pancreatic islets, glucose lowering in the fasted rat, i.v. glucose tolerance in the fasted rat, oral glucose tolerance in the Zucker diabetic fatty rat, insulin secretion in the rat, inhibition of KATP-channels in mouse pancreatic beta-cells, and sulfonylurea receptor binding. Also included are: inhibition of dipeptidyl peptidase IV (DPP-IV inhibitors), alpha-glucosidase assay, glycogen phosphorylase assay, assay of glucose 6-phosphatase inhibitors, glucagon antagonist assay, amylin agonist assay, fatty acid oxidn. inhibitor assay, glucose lowering in the db/db mouse (FBPase inhibitor), glucose lowering in the ZDF rat, acute combination treatment of an insulin secretagogue and an FBPase inhibitor in the ZDF rat, chronic combination treatment of an insulin secretagogue and an FBPase inhibitor in the ZDF rat, acute combination treatment of insulin and an FBPase inhibitor in db/db mice, beneficial effect of chronic combination treatment of insulin and an FBPase inhibitor in db/db mice, and beneficial effect of chronic combination treatment of insulin and an FBPase inhibitor in db/db Mice. Also included are: acute combination treatment of insulin and an FBPase inhibitor in the Goto-Kakizaki rat, acute combination treatment of a biguanide and an FBPase inhibitor in db/db mice, acute combination treatment of an alpha glucosidase inhibitor and an FBPase inhibitor in Goto-Kakizaki rats, acute combination treatment of a glycogen phosphorylase inhibitor and an FBPase inhibitor in db/db or ob/ob mice, acute combination treatment of a glucose-6-phosphatase inhibitor and an FBPase inhibitor in db/db or ob/ob mice, acute combination treatment of an FBPase inhibitor and an amylin agonist, chronic combination treatment of a fatty acid oxidn. inhibitor and an FBPase inhibitor in the streptozotocin-induced diabetic rat.

IT 280783-04-2P, 2-Amino-5-isobutyl-4-[5-[N,N'-bis[(R)-1-ethoxycarbonyl-2-(benzylthio)ethyl]phosphonodiamido]-2-furanyl]thiazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination of phosphonate or phosphorodiamidate FBPase inhibitors and antidiabetic agents useful for treatment of diabetes)

RN 280783-04-2 CAPLUS
CN L-Cysteine, N,N'-[[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]phosphinylidene]bis[S-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:489407 CAPLUS
DOCUMENT NUMBER: 135:76989
TITLE: Novel bisamidate phosphonate prodrugs of FBPase inhibitors for use as antidiabetics
INVENTOR(S): Jaing, Tao; Kasibhatla, Srinivas Rao; Reddy, Raja K.
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

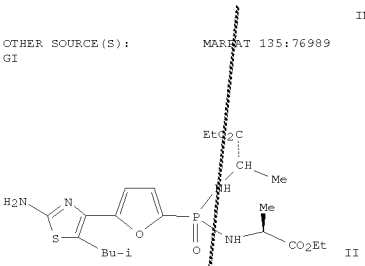
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047935	A2	20010705	WO 2000-IB2071	20001222
WO 2001047935	A3	20020321		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396713	A1	20010705	CA 2000-2396713	20001222
EP 1240174	A2	20020918	EP 2000-993135	20001222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2000017048	A	20021105	BR 2000-17048	20001222
US 20020173490	A1	20021121	US 2000-747182	20001222
US 6965033	B2	20051115		
HU 2002004092	A2	20030328	HU 2002-4092	20001222
HU 2002004092	A3	20050228		
JP 2003519154	T	20030617	JP 2001-549405	20001222
NZ 519219	A	20040326	NZ 2000-519219	20001222
CN 1740182	A	20060301	CN 2005-10093059	20001222
AU 784370	B2	20060323	AU 2001-52447	20001222
RU 2273642	C2	20060410	RU 2002-119708	20001222
ZA 2002004399	A	20030925	ZA 2002-4399	20020531
IN 2002MN00773	A	20050304	IN 2002-MN773	20020612
NO 2002002932	A	20020822	NO 2002-2932	20020618
MX 2002006156	A	20030922	MX 2002-6156	20020620
KR 875335	B1	20081222	KR 2002-708017	20020621
US 20050004077	A1	20050106	US 2004-900718	20040728
AU 2006202624	A1	20060720	AU 2006-202624	20060620
AU 2006202624	B2	20080814		
IN 2008MN00119	A	20080222	IN 2008-MN119	20080123

PRIORITY APPLN. INFO.: US 1999-171862P P 19991222
AU 2001-52447 A 20001222
CN 2000-819044 A3 20001222

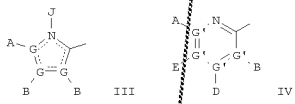
L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
US 2000-747182 A1 20001222
WO 2000-IB2071 W 20001222
IN 2002-MN773 A3 20020612

OTHER SOURCE(S): MARPAT 135:76989

GI



II



III IV

AB Novel bisamidate phosphonate prodrugs (I; R5XP(O)(NR15R16)NR15(CR12R13)nC(O)R14; e.g. 2-amino-5-isobutyl-4-[5-[N,N'-bis((S)-1-(ethoxycarbonyl)ethyl)phosphonodiamido]-2-furanyl]thiazole (II)) of fructose-1,6-bisphosphatase (FBPase) inhibitors and their use in the treatment of diabetes and other conditions associated with elevated blood glucose were reported. In I, n = 1-3; R2 = R3, H; R3 = alkyl, aryl, alicyclic, and aralkyl; each R12 and R13 = H, lower alkyl, lower aryl, lower aralkyl, all optionally substituted, or R12 and R13 together are connected via 2-6 atoms, optionally including 1-2 heteroatoms = O, N and S, to form a cyclic group; each R14 = OR17, N(R17)2, NHR17, NR20R19 and SR17; R15 = H, lower alkyl, lower aryl, lower aralkyl, or together with R16 is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; R16 = (CR12R13)nC(O)R14, H, lower alkyl, lower aryl, lower aralkyl, or together with R15 is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; each R17 = lower alkyl, lower aryl, lower aralkyl, all optionally substituted, or together R17 and R17 on N is connected via 2-6 atoms, optionally including 1 heteroatom = O, N, and S; R18 = H, lower alkyl, aryl, aralkyl, or together with R12 is connected via 1-4 C atoms to form a cyclic group; each R19 = H, lower alkyl, lower aryl,

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

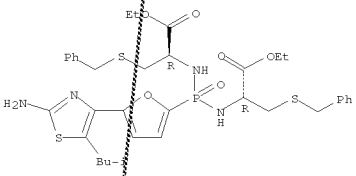
lower alicyclic, lower aralkyl, and COR3. R5 = III and IV, wherein each G = C, N, O, S, and Se, and wherein only one G may be O, S, or Se, and at most one G is N; each G' = C and N and wherein no more than two G' groups are N; A = H, NR42, CONR42, CO2R3, halo, S(O)R3, SO2R3, alkyl, alkenyl, alkynyl, perhaloalkyl, haloalkyl, aryl, CH2OH, CH2NR42, CH2CN, CN, C(S)NH2, OR2, SR2, NHC(S)NR42, NHAc, null; each B and D = H, alkyl, alkenyl, alkynyl, aryl, alicyclic, aralkyl, alkoxyalkyl, C(O)R11, C(O)SR3, SO2R11, S(O)R3, CN, NR92, OR3, SR3, perhaloalkyl, halo, NO2, and null, all except H, CN, perhaloalkyl, NO2, and halo are optionally substituted; E = H, alkyl, alkenyl, alkynyl, aryl, alicyclic, alkoxyalkyl, C(O)OR3, CONR42, CN, NR92, NO2, OR3, SR3, perhaloalkyl, halo, and null, all except H, CN, perhaloalkyl, and halo are optionally substituted; J = H, null. X is an optionally substituted linking group that links R5 to the P atom via 2-4 atoms, including 0-1 heteroatoms (N, O, and S), except that if X is urea or carbamate there are 2 heteroatoms, measured by the shortest path between R5 and the P atom, and wherein the atom attached to the P is a C atom, and wherein X = -alkyl(hydroxy)-, -alkynyl-, -heteroaryl-, -carbonylalkyl-, -1,1-dihaloalkyl-, -alkoxyalkyl-, -alkyloxy-, -alkylthioalkyl-, -alkylthio-, -alkylaminocarbonyl-, -alkylcarbonylamino-, -alkoxycarbonyl-, -carbonyloxyalkyl-, -alkoxycarbonylamino-, and -alkylaminocarbonylamino-, all optionally substituted; with the proviso that X is not substituted with COOR2, SO3H, or PO3R22; R2 = R3 and H; R3 = alkyl, aryl, alicyclic, and aralkyl; each R4 = H, and alkyl, or together R4 and R4 form a cyclic alkyl group; each R9 = H, alkyl, aryl, aralkyl, and alicyclic, or together R9 and R9 form a cyclic alkyl group; R11 = alkyl, aryl, NR22, and OR2; and with the proviso that: (1) when G' is N, then the resp. A, B, D, or E is null; (2) at least one of A and B, or A, B, D, and E is not selected from the group consisting of H or null; (3) when G is N, then the resp. A or B is not halogen or a group directly bonded to G via a heteroatom. Approx. 700 antidiabetic title compds. were prepd. by std. methods. Results are reported of tests of some of the prodrugs and/or the related phosphonic acids for inhibition of human liver FBPase, inhibition of rat liver FBPase, inhibition of gluconeogenesis in rat hepatocytes, chem. stability, oral bioavailability in rats, oral pharmacokinetics in rats, acute and chronic oral efficacy in the ZDF rat, and structure activity relationship of human liver phosphoramidase. E.g., 2-amino-5-isobutyl-4-[5-phosphono-2-furyl]thiazole, resulting from the hydrolysis of the prodrug, exhibited an IC50 of 0.025 μM against human liver FBPase and an IC50 of 2.5 μM as inhibitor of glucose prodn. in rat hepatocytes.

IT 280783-04-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and use of antidiabetic bisamidate phosphonate prodrugs)

RN 280783-04-2 CAPLUS
CN L-Cysteine, N,N'-[[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]phosphinylidene]bis[S-(phenylmethyl)-, diethyl ester (9CI) (CA

L6 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2000:666702 CAPLUS
DOCUMENT NUMBER: 133:252750
TITLE: Preparation of γ-keto acid dipeptides as inhibitors of caspase-3
INVENTOR(S): Han, Yongxin; Grimm, Erich; Aspiotis, Renee; Francoeur, Sebastien; Zamboni, Robert; Prasit, Petpiboon; Black, Cameron; Giroux, Andre; Bayly, Christopher; McKay, Daniel
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055127	A1	20000921	WO 2000-CA272	20000313
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367862	A1	20000921	CA 2000-2367862	20000313
EP 1163214	A1	20011219	EP 2000-910448	20000313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539193	T	20021119	JP 2000-605558	20000313
AU 765462	B2	20030918	AU 2000-32665	20000313
US 6225288	B1	20010501	US 2000-526840	20000316
PRIORITY APPLN. INFO.:			US 1999-124622P P	19990316
			WO 2000-CA272 W	20000313

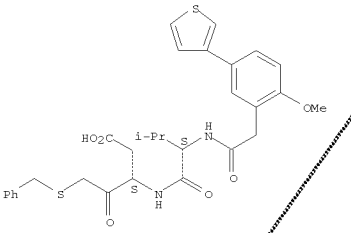
OTHER SOURCE(S): MARPAT 133:252750

AB γ-Keto acid dipeptides R(CR32)mCONHCR1R2CONHCH(CH2CO2H)COCH2S(O)n(CH2)aZ [a = 0 or 1; m, n = 0-2; Z = (un)substituted alkyl, cycloalkyl, Ph, naphthyl, 5- or 6-membered aromatic or non-aromatic ring or benzo-fused analogs containing 1-3 heteroatoms selected from O, S and N; R = (un)substituted phenyl; R1 = H, aryl, alkyl, hydroxy-, alkoxy- or benzyloxyalkyl, cycloalkyl or oxa-, thia- or azacycloalkyl; R2 = H or R1R2N is a 4-7 membered ring containing O, S or N; R3 = H, alkyl, oxo- or dioxoalkyl, alkoxy, or halo] were prepared as inhibitors of caspase-3. Thus, (3S)-5-(benzylthio)-3-[[(2S)-2-[[2-(2,5-dimethoxyphenyl)acetyl]amino]-3-methylbutanoyl]amino]-4-oxopentanoic acid was prepd. by the solid phase method by loading (S)-FmocNHCH(CH2CO2Bu-t)COCH2Br (Fmoc = fluorenylmethoxycarbonyl) (prepn. described) onto a solid support using the technol. described by Webb et al. (1992).

IT 294860-04-1P 294860-06-3P 294860-07-4P 294860-09-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of γ-keto acid dipeptides as inhibitors of caspase-3)

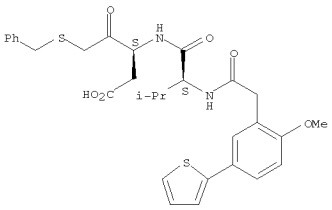
RN 294860-04-1 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(3-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



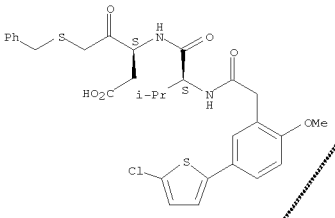
RN 294860-06-3 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[2-methoxy-5-(2-thienyl)phenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



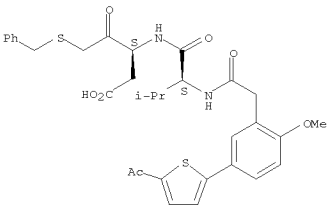
RN 294860-07-4 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[5-(5-chloro-2-thienyl)-2-methoxyphenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 294860-09-6 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[2-[5-(5-acetyl-2-thienyl)-2-methoxyphenyl]acetyl]amino]-3-methyl-1-oxobutyl]amino]-4-oxo-5-[(phenylmethyl)thio]-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:456867 CAPLUS
DOCUMENT NUMBER: 133:84284
TITLE: A combination of fructose-1,6-bisphosphatase (FBPase) inhibitors and insulin sensitizers for the treatment of diabetes
INVENTOR(S): Erion, Mark D.; Vanpoelje, Paul
PATENT ASSIGNEE(S): Metabasis Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000038666	A2	20000706	WO 1999-US30713	19991222
WO 2000038666	A3	20011129		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2354053	A1	20000706	CA 1999-2354053	19991222
EP 1143955	A2	20011017	EP 1999-964313	19991222
EP 1143955	A3	20020828		
EP 1143955	B1	20050727		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9917005	A	20020402	BR 1999-17005	19991222
CN 1350466	A	20020522	CN 1999-816356	19991222
CN 100352505	C	20071205		
JP 2003515523	T	20030507	JP 2000-590620	19991222
AU 771039	B2	20040311	AU 2000-20583	19991222
RU 2227749	C2	20040427	RU 2001-120726	19991222
NZ 512219	A	20041224	NZ 1999-512219	19991222
HU 2004002506	A2	20050428	HU 2004-2506	19991222
HU 2004002506	A3	20070529		
EP 1552850	A2	20050713	EP 2005-8493	19991222
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 300288	T	20050815	AT 1999-964313	19991222
PT 1143955	T	20051130	PT 1999-964313	19991222
CN 1714866	A	20060104	CN 2005-10080615	19991222
ES 2246586	T3	20060216	ES 1999-964313	19991222
IL 143569	A	20060611	IL 1999-143569	19991222
CN 101164618	A	20080423	CN 2007-10162888	19991222
ZA 2001005016	A	20020919	ZA 2001-5016	20010619
IN 2001KN00640	A	20050311	IN 2001-KN640	20010620
NO 2001003115	A	20010824	NO 2001-3115	20010621
MX 2001006511	A	20040319	MX 2001-6511	20010622

L6 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)

HK 1046863	A1	20080725	HK 2002-108475	20021122
KR 2006114724	A	20061107	KR 2006-722095	20061024
KR 2007046210	A	20070502	KR 2007-708649	20070416

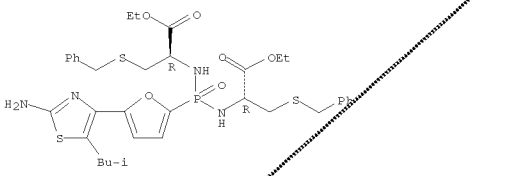
PRIORITY APPLN. INFO.:
US 1998-114718P P 19981224
CN 1999-816356 A3 19991222
EP 1999-964313 A3 19991222
WO 1999-US30713 W 19991222
KR 2001-708102 A3 20010623
KR 2006-722095 A3 20061024

OTHER SOURCE(S): MARPAT 133:84284

AB Pharmaceutical compns. containing an FBPase inhibitor and an insulin sensitizer are provided as well as methods for treating diabetes and diseases responding to increased glycemic control, an improvement in insulin sensitivity, a reduction in insulin levels, or an enhancement of insulin secretion.

IT 280783-04-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(fructose-1,6-bisphosphatase inhibitor-insulin sensitizer combination for diabetes treatment, and inhibitor preparation)
RN 280783-04-2 CAPLUS
CN L-Cysteine, N,N'-[[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]phosphinylidene]bis[S-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

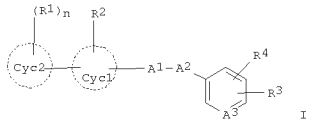
L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:595118 CAPLUS
DOCUMENT NUMBER: 131:243262
TITLE: Preparation of carboxylic acid derivatives as PPAR regulating agents
INVENTOR(S): Tajima, Hisao; Nakayama, Yoshisuke; Fukushima, Daikichi
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9946232	A1	19990916	WO 1999-JP1134	19990309
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9932759	A	19990927	AU 1999-32759	19990309
EP 1067109	A1	20010110	EP 1999-939188	19990309
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
US 6506757	B1	20030114	US 2000-623913	20000911
US 20030153579	A1	20030814	US 2002-251805	20020923
US 7037914	B2	20060502		
US 20050250824	A1	20051110	US 2005-178639	20050712
US 7211591	B2	20070501		

PRIORITY APPLN. INFO.:
JP 1998-58444 A 19980310
JP 1998-87560 A 19980331
WO 1999-JP1134 W 19990309
US 2000-623913 A3 20000911
US 2002-251805 A3 20020923

OTHER SOURCE(S): MARPAT 131:243262
GI

L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



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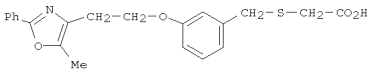
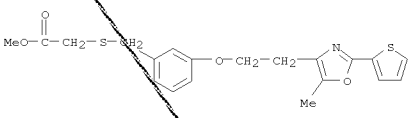


II

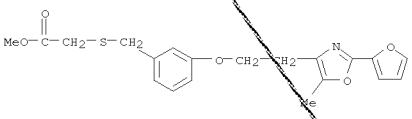
AB The title compds. I [A1 = alkylene, etc.; A2 = O, S; A3 = CH, N; n = 1 - 5; R1 = H, alkyl, etc.; R2 = H, halo, etc.; Cyc1 = phenylene, etc.; Cyc2 = heterocyclic ring, etc.; R3 = H, nitro, etc.; R4 = 2,4-thiazolidinedion-5-yl, etc.; provisos are given] are prepared Because of their effect of regulating PPAR (peroxisome proliferator-activated receptor), the compds. of the general formula I are useful as hypoglycemic agents, lipid-lowering agents, preventives and/or remedies for diseases associating metabolic errors (diabetes, obesity, syndrome X, hypercholesterolemia, hyperlipoproteinemia, etc.), hyperlipemia, arteriosclerosis, hypertension, circulatory diseases, overeating, ischemic heart diseases, etc., HDL cholesterol-elevating agents, LDL cholesterol and/or VLDL cholesterol-lowering agents and drugs for relieving risk factors of diabetes or syndrome X. Formulations containing a compound of this invention are given. Phenyloxazolylethoxyphenylmethylthioacetic derivative II showed PPAR α agonist activity ; the blood sugar in mice treated with II (at 38.9 mg/kg/day for 2 days) was 214±19 mg/dL, vs. 495±35 mg/dL in controls.

IT 244150-35-4P 244150-56-9P 244151-82-4P
244152-26-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxylic acid derivs. as PPAR regulating agents)
RN 244150-35-4 CAPLUS
CN Acetic acid, 2-[[[3-[2-[5-methyl-2-(2-thienyl)-4-oxazolyl]ethoxy]phenyl]methyl]thio]-, methyl ester (CA INDEX NAME)

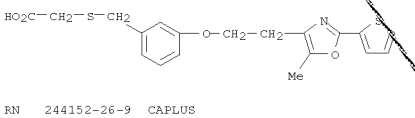
L6 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)



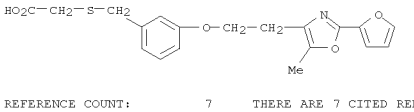
RN 244150-56-9 CAPLUS
CN Acetic acid, 2-[[[3-[2-[2-(2-furanyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]thio]-, methyl ester (CA INDEX NAME)



RN 244151-82-4 CAPLUS
CN Acetic acid, 2-[[[3-[2-[5-methyl-2-(2-thienyl)-4-oxazolyl]ethoxy]phenyl]methyl]thio]- (CA INDEX NAME)



RN 244152-26-9 CAPLUS
CN Acetic acid, 2-[[[3-[2-[2-(2-furanyl)-5-methyl-4-oxazolyl]ethoxy]phenyl]methyl]thio]- (CA INDEX NAME)

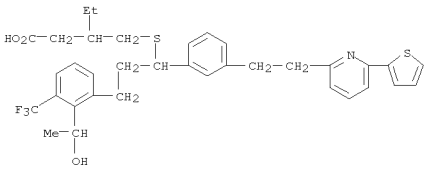


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN (Continued)
SO2, direct bond, etc.; Y = CR3: CR3, C:C, CR32X1, X1CR32, CO, O, S, (un)substituted cyclopropylene, etc.; Z1, Z2 = direct bond, bridging group of a benzene or pyridine or furan or thiophene; m, p, y, z = 0-8; such that y + z = 0-10], having activity as leukotriene antagonists (no data), are prepd. Thus, (R)-Na 1-[[[1-[3-[2-(5,6-dimethyl-2-pyridinyl)ethenyl]phenyl]-3-[2-(2-hydroxy-2-propyl)phenyl]propyl]thio]methyl]cyclopropaneacetate was prepd. from 2,3-dimethylpyridine in 20 steps.

IT 153647-10-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(leukotriene antagonist)

RN 153647-10-0 CAPLUS
CN Pentanoic acid, 3-[[[3-[2-(1-hydroxyethyl)-3-(trifluoromethyl)phenyl]-1-[3-[2-[6-(2-thienyl)-2-pyridinyl]ethyl]phenyl]propyl]thio]methyl]- (CA INDEX NAME)

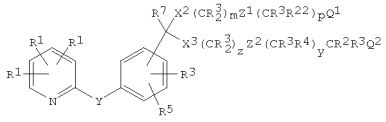


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:270117 CAPLUS
DOCUMENT NUMBER: 120:270117
ORIGINAL REFERENCE NO.: 120:47847a,47850a
TITLE: Pyridine-substituted benzyl alcohols as leukotriene antagonists
INVENTOR(S): Zamboni, Robert; Guay, Daniel; Gauthier, Jacques Yves
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9321158	A1	19931028	WO 1993-CA145	19930402
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5506227	A	19960409	US 1992-866697	19920413
AU 9338847	A	19931118	AU 1993-38847	19930402
EP 639181	A1	19950222	EP 1993-907720	19930402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 07505401	T	19950615	JP 1993-517874	19930402
PRIORITY APPLN. INFO.:			US 1992-866697 A	19920413
			WO 1993-CA145 A	19930402

OTHER SOURCE(S): MARPAT 120:270117
GI



I

AB The title compds. I [Q1 = (un)substituted carboxylate ester, CO2H, 1H-tetrazol-5-yl, 2H-tetrazol-5-yl, etc.; Q2 = OR3; R3 = H, R2; R2 = lower alkyl, lower alkenyl, lower alkynyl, CF3, CH2F, CHF2, CH2CF3, (un)substituted Ph, etc.; R1 = H, halogen, CN, lower alkyl, cycloalkyl, polyhalo lower alkyl, lower alkoxy, etc.; R4 = halogen, NO2, CN, OR3, SR3, NR3Q, etc.; R5 = H, halogen, NO2, N3, CN, SR2, NR32, OR3, lower alkyl, COR3; R7 = H, lower alkyl; R22 = R4, CHR7OR3, CHR7SR2; X2, X3 = O, S, SO,